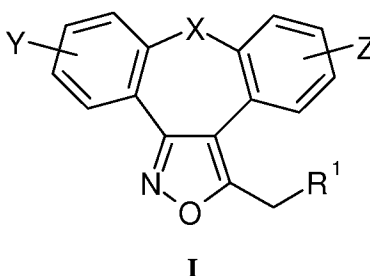


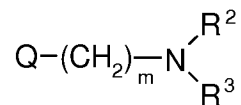
## CLAIMS

1. A compound of formula **I**:



wherein

- X is selected from the group consisting of CH<sub>2</sub>, O, S, S(=O), S(=O)<sub>2</sub> and NR<sup>a</sup>, wherein R<sup>a</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkanoyl, C<sub>1</sub>-C<sub>7</sub>-alkoxycarbonyl, C<sub>7</sub>-C<sub>10</sub>-arylmethoxycarbonyl, C<sub>7</sub>-C<sub>10</sub>-aroyl, C<sub>7</sub>-C<sub>10</sub>-arylalkyl, C<sub>3</sub>-C<sub>7</sub>-alkylsilyl and C<sub>5</sub>-C<sub>10</sub>-alkylsilylalkoxyalkyl;
- Y and Z are each independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>4</sub>-alkenyl, C<sub>2</sub>-C<sub>4</sub>-alkynyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, trifluoromethoxy, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, amino, amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, *N*-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, thiol, C<sub>1</sub>-C<sub>4</sub>-alkylthio, sulfonyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, sulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, cyano and nitro;
- R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>7</sub>-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, thiol, C<sub>1</sub>-C<sub>4</sub> alkylthio, amino, *N*-(C<sub>1</sub>-C<sub>4</sub>) alkylamino, *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, sulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, sulfinyl and C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl; C<sub>2</sub>-C<sub>7</sub>-alkenyl optionally substituted with one or more halogen atoms; C<sub>2</sub>-C<sub>7</sub>-alkynyl; hydroxy; hydroxy-C<sub>2</sub>-C<sub>7</sub>-alkenyl; hydroxy-C<sub>2</sub>-C<sub>7</sub>-alkynyl; C<sub>1</sub>-C<sub>7</sub>-alkoxy; thiol; thio-C<sub>2</sub>-C<sub>7</sub>-alkenyl; thio-C<sub>2</sub>-C<sub>7</sub>-alkynyl; C<sub>1</sub>-C<sub>7</sub>-alkylthio; amino; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; C<sub>1</sub>-C<sub>7</sub>-alkylamino; amino-C<sub>2</sub>-C<sub>7</sub>-alkenyl; amino-C<sub>2</sub>-C<sub>7</sub>-alkynyl; amino-C<sub>1</sub>-C<sub>7</sub>-alkoxy; C<sub>1</sub>-C<sub>7</sub>-alkanoyl; C<sub>7</sub>-C<sub>10</sub>-aroyl; oxo-C<sub>1</sub>-C<sub>7</sub>-alkyl; C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy; carboxy; an optionally substituted C<sub>1</sub>-C<sub>7</sub>-alkyloxycarbonyl; an optionally substituted C<sub>7</sub>-C<sub>10</sub>-aryloxycarbonyl; carbamoyl; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; cyano; cyano-C<sub>1</sub>-C<sub>7</sub>-alkyl; sulfonyl; C<sub>1</sub>-C<sub>7</sub>-alkylsulfonyl; sulfinyl; C<sub>1</sub>-C<sub>7</sub>-alkylsulfinyl; nitro;
- a substituent of the formula **II**:



## II

wherein

$R^2$  and  $R^3$  are each independently hydrogen,  $C_1$ - $C_4$ -alkyl or aryl as defined above or,

$R^2$  and  $R^3$  taken together with the nitrogen atom to which they are attached form an optionally substituted heterocycle or heteroaryl which can be optionally substituted with one or two substituents selected from halogen,  $C_1$ - $C_4$  alkyl, cyano, nitro, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino, *N*-( $C_1$ - $C_4$ ) alkylamino, *N,N*-di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl, and  $C_1$ - $C_4$  alkylsulfinyl; or

a monocyclic or bicyclic aryl group; a monocyclic or bicyclic heteroaryl group; and a heterocycle, wherein the monocyclic or bicyclic aryl group, the monocyclic or bicyclic heteroaryl group and the heterocycle are linked to the thiophene ring via a direct bond or a  $C_1$ - $C_4$  alkylene group, and are each optionally substituted with one or more substituents selected from the group consisting of fluoro, chloro,  $C_1$ - $C_4$  alkyl, cyano, nitro, hydroxy,  $C_1$ - $C_4$  alkoxy, thiol,  $C_1$ - $C_4$  alkylthio, amino, *N*-( $C_1$ - $C_4$ ) alkylamino, *N,N*-di( $C_1$ - $C_4$ -alkyl)-amino, sulfonyl,  $C_1$ - $C_4$  alkylsulfonyl, sulfinyl and  $C_1$ - $C_4$  alkylsulfinyl;

$m$  is an integer from 1 to 3;

$Q$  is oxygen, sulfur or nitrogen;

and pharmaceutically acceptable salts and solvates thereof.

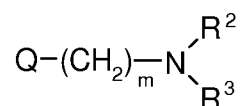
2. A compound according to claim 1 wherein  $X$  is O or S.

3. A compound according to claim 1 wherein  $Y$  and  $Z$  are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, trifluoromethoxy,  $C_1$ - $C_4$ -alkanoyl, amino, amino- $C_1$ - $C_4$ -alkyl, *N*-( $C_1$ - $C_4$ -alkyl)amino, *N,N*-di( $C_1$ - $C_4$ -alkyl)amino, thiol,  $C_1$ - $C_4$ -alkylthio, cyano and nitro.

4. A compound according to claim 1 wherein:

$R^1$  is selected from the group consisting of hydrogen, halogen,  $C_1$ - $C_7$ -alkyl optionally substituted with one or more substituents selected from the group consisting of halogen atom, hydroxy,

C<sub>1</sub>-C<sub>4</sub> alkoxy, thiol, C<sub>1</sub>-C<sub>4</sub> alkylthio, amino, *N*-(C<sub>1</sub>-C<sub>4</sub>) alkylamino and *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino; hydroxy; C<sub>1</sub>-C<sub>7</sub>-alkoxy; thiol; C<sub>1</sub>-C<sub>7</sub>-alkylthio; amino; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; amino-C<sub>1</sub>-C<sub>7</sub>-alkoxy; C<sub>1</sub>-C<sub>7</sub>-alkanoyl; C<sub>7</sub>-C<sub>10</sub>-aroyl; C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy; an optionally substituted C<sub>1</sub>-C<sub>7</sub>-alkyloxycarbonyl; an optionally substituted C<sub>7</sub>-C<sub>10</sub>-aryloxycarbonyl; carbamoyl; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; cyano; cyano-C<sub>1</sub>-C<sub>7</sub>-alkyl; nitro;  
a substituent of the formula **II**:



**II**

wherein

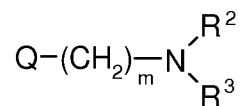
R<sup>2</sup> and R<sup>3</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, aryl as described above; or

R<sup>2</sup> and R<sup>3</sup> taken together with the nitrogen atom to which they are attached form a heterocycle or heteroaryl selected from the group consisting of morpholine-4-yl, piperidine-1-yl, pyrrolidine-1-yl, imidazole-1-yl and piperazine-1-yl; or

monocyclic or bicyclic aryl group; monocyclic or bicyclic heteroaryl group; and a heterocycle, wherein the monocyclic or bicyclic aryl group, the monocyclic or bicyclic heteroaryl group and the heterocycle are linked to the thiophene ring via a direct bond or a C1-C4 alkylene group, and are each optionally substituted with one or more substituents selected from the group consisting of fluoro, chloro, C1-C4 alkyl, cyano, nitro, hydroxy, C1-C4 alkoxy, thiol, C1-C4 alkylthio, amino, *N*-(C1-C4) alkylamino, and *N,N*-di(C1-C4-alkyl)-amino; and

Q oxygen.

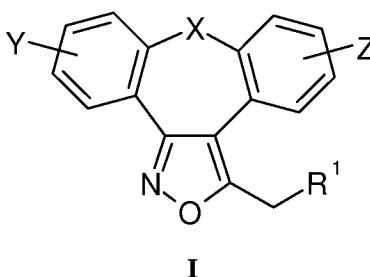
5. A compound according to claim 1 wherein Y is hydrogen or chlorine and Z represents hydrogen.
6. A compound according to claim 1 wherein R<sup>1</sup> is CH<sub>3</sub>, CH<sub>2</sub>Br, CH<sub>2</sub>OH or a substituent of formula **II**:



## II

wherein  $R^2$ ,  $R^3$ , Q and m have the above defined meaning.

7. A compound according to claim 6 wherein m is 2 or 3.
8. A compound according to claim 1 selected from the group consisting of:
  - 3-methyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;
  - 11-chloro-3-methyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;
  - 3-methyl-2,8-dioxa-1-aza-dibenzo[*e,h*]azulene;
  - 3-bromomethyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;
  - 3-bromomethyl-11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;
  - 3-bromomethyl-2,8-dioxa-1-aza-dibenzo[*e,h*]azulene;
  - dimethyl-[2-(2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine;
  - dimethyl-[3-(2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine;
  - dimethyl-[2-(11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine;
  - dimethyl-[3-(11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine;
  - dimethyl-[2-(2,8-dioxa-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine; and
  - dimethyl-[3-(2,8-dioxa-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine,
 or a pharmaceutically acceptable salt or solvate thereof.
9. Process for the preparation of the compound of the formula **I**:

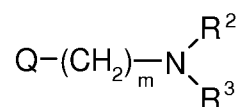


wherein

- X is selected from the group consisting of  $CH_2$ , O, S,  $S(=O)$ ,  $S(=O)_2$  and  $NR^a$ , wherein  $R^a$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl,  $C_1$ - $C_3$ -alkanoyl,  $C_1$ - $C_7$ -alkoxycarbonyl,  $C_7$ - $C_{10}$ -arylmethoxycarbonyl,  $C_7$ - $C_{10}$ -aroyl,  $C_7$ - $C_{10}$ -arylalkyl,  $C_3$ - $C_7$ -alkylsilyl and  $C_5$ - $C_{10}$ -alkylsilylalkoxyalkyl;
- Y and Z are each independently selected from the group consisting of hydrogen, halogen,  $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_4$ -alkenyl,  $C_2$ - $C_4$ -alkynyl, halo- $C_1$ - $C_4$ -alkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy,

trifluoromethoxy, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, amino, amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, *N*-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, thiol, C<sub>1</sub>-C<sub>4</sub>-alkylthio, sulfonyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, sulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, cyano and nitro;

R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>7</sub>-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen atom, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, thiol, C<sub>1</sub>-C<sub>4</sub> alkylthio, amino, *N*-(C<sub>1</sub>-C<sub>4</sub>) alkylamino, *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, sulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, sulfinyl and C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl; C<sub>2</sub>-C<sub>7</sub>-alkenyl optionally substituted with one or more halogen atoms; C<sub>2</sub>-C<sub>7</sub>-alkynyl; hydroxy; hydroxy-C<sub>2</sub>-C<sub>7</sub>-alkenyl; hydroxy-C<sub>2</sub>-C<sub>7</sub>-alkynyl; C<sub>1</sub>-C<sub>7</sub>-alkoxy; thiol; thio-C<sub>2</sub>-C<sub>7</sub>-alkenyl; thio-C<sub>2</sub>-C<sub>7</sub>-alkynyl; C<sub>1</sub>-C<sub>7</sub>-alkylthio; amino; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)amino; C<sub>1</sub>-C<sub>7</sub>-alkylamino; amino-C<sub>2</sub>-C<sub>7</sub>-alkenyl; amino-C<sub>2</sub>-C<sub>7</sub>-alkynyl; amino-C<sub>1</sub>-C<sub>7</sub>-alkoxy; C<sub>1</sub>-C<sub>7</sub>-alkanoyl; C<sub>7</sub>-C<sub>10</sub>-aroyl; oxo-C<sub>1</sub>-C<sub>7</sub>-alkyl; C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy; carboxy; an optionally substituted C<sub>1</sub>-C<sub>7</sub>-alkyloxycarbonyl; an optionally substituted C<sub>7</sub>-C<sub>10</sub>-aryloxycarbonyl; carbamoyl; *N*-(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; *N,N*-di(C<sub>1</sub>-C<sub>7</sub>-alkyl)carbamoyl; cyano; cyano-C<sub>1</sub>-C<sub>7</sub>-alkyl; sulfonyl; C<sub>1</sub>-C<sub>7</sub>-alkylsulfonyl; sulfinyl; C<sub>1</sub>-C<sub>7</sub>-alkylsulfinyl; nitro; a substituent of the formula **II**:



## II

wherein

R<sup>2</sup> and R<sup>3</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or aryl as defined above or,

R<sup>2</sup> and R<sup>3</sup> taken together with the nitrogen atom to which they are attached form an optionally substituted heterocycle or heteroaryl which can be optionally substituted with one or two substituents selected from halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, cyano, nitro, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, thiol, C<sub>1</sub>-C<sub>4</sub> alkylthio, amino, *N*-(C<sub>1</sub>-C<sub>4</sub>) alkylamino, *N,N*-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, sulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, sulfinyl, and C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl; or

a monocyclic or bicyclic aryl group; a monocyclic or bicyclic heteroaryl group; and a heterocycle, wherein the monocyclic or bicyclic aryl group, the monocyclic or bicyclic heteroaryl group and the heterocycle are linked to the thiophene ring via a direct bond or a C1-C4 alkylene group, and are each optionally substituted with one or more substituents selected from the group consisting of fluoro, chloro, C1-C4 alkyl, cyano, nitro, hydroxy, C1-C4 alkoxy, thiol, C1-C4 alkylthio, amino, *N*-(C1-

C4) alkylamino, N,N-di(C1-C4-alkyl)-amino, sulfonyl, C1-C4 alkylsulfonyl, sulfinyl and C1-C4 alkylsulfinyl;

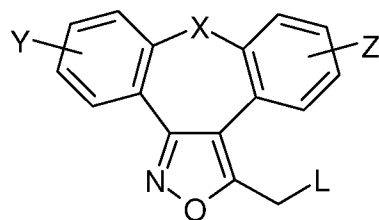
m is an integer from 1 to 3;

Q is oxygen, sulfur or nitrogen;

and its pharmacologically acceptable salts and solvates,

which comprises:

a) condensing a compound **Ia**:

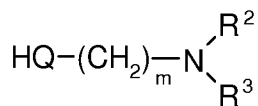


**Ia**

wherein X, Y and Z are as defined above, L is a leaving group,

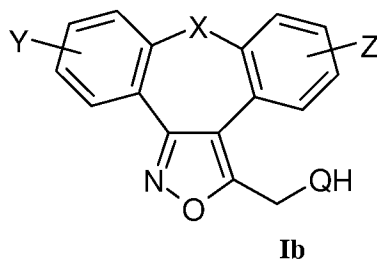
with an optionally selected alcohol, thioalcohol or amine or with a compound of the formula **IIa**:

**IIa**

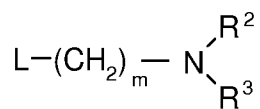


wherein all radicals and symbols have earlier stated meanings;

b) condensing a compound of the formula **Ib**:



wherein all symbols have the earlier stated meanings, with a compound of the formula **Ib**:



**Ib**

wherein the radicals  $\text{R}^2$  and  $\text{R}^3$  and the symbol  $m$  have the earlier stated meanings and symbol  $\text{L}$  is a suitable leaving group.

10. A pharmaceutical composition comprising at least one compound according to claim 1 or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable excipient diluent and/or carrier.

11. A method of treating or preventing a disease, damage, or disorder of the central nervous system associated with a disorder of neurochemical equilibrium of biogenic amines or other neurotransmitters comprising administering the dibenzoazulene of claim 1.

12. The method of claim 11, wherein the biogenic amine is serotonin, norepinephrine ~~and~~ or dopamine.

13. The method of claim 11, wherein the neurotransmitter is glutamate.

14. The method of claim 11 wherein the dibenzoazulene regulates the synthesis, storage, release, metabolism, reabsorption, or receptor binding of said biogenic amine or neurotransmitter.

15. The method of claim 14, wherein the dibenzoazulene binds to a receptor of a biogenic amine.

16. The method of claim 15, wherein the dibenzoazulene binds to a serotonin 5-HT<sub>2A</sub> ~~and~~ or 5-HT<sub>2C</sub> receptor.

17. The method of claim 16, wherein dibenzoazulene binds to a serotonin 5-HT<sub>2A</sub> or 5-HT<sub>2C</sub> receptor with an IC<sub>50</sub> of less than 1 μM.

18. The method of claim 11, wherein the dibenzoazulene binds to a σ1 receptor with an IC<sub>50</sub> of less than 1 μM.

19. The method of claim 11, wherein the dibenzoazulene bind to a σ1 receptor and to at least one serotonin receptor selected from 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub>.

20. The method of claim 11, wherein the disease or disorder of the central nervous system ~~are~~ is selected from the group consisting of anxiety, depression, bipolar disorders, sleeping disorders, sexual disorders, psychosis, borderline psychosis, schizophrenia, migraine, personality disorders and obsessive-compulsive disorders, social phobia or panic attacks, organic mental disorders in children, aggression, memory disorders and personality disorders in elderly people, addiction, obesity, bulimia and other eating disorders, snoring, and premenstrual troubles.

21. The method of claim 11, wherein the damage to the central nervous system is caused by trauma, brain stroke, neurodegenerative diseases, cardiovascular disorders thrombosis, infarct gastrointestinal disorders.

22. The method of claim 11, wherein the dibenzoazulene is selected from the group consisting of:

3-methyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;

11-chloro-3-methyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;

3-methyl-2,8-dioxa-1-aza-dibenzo[*e,h*]azulene;

3-bromomethyl-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;

3-bromomethyl-11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulene;

3-bromomethyl-2,8-dioxa-1-aza-dibenzo[*e,h*]azulene;

dimethyl-[2-(2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine;

dimethyl-[3-(2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine;

dimethyl-[2-(11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine;

dimethyl-[3-(11-chloro-2-oxa-8-thia-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine;



dimethyl-[2-(2,8-dioxa-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-ethyl]-amine; and dimethyl-[3-(2,8-dioxa-1-aza-dibenzo[*e,h*]azulen-3-ylmethoxy)-propyl]-amine; or pharmaceutically acceptable salts and solvates thereof.